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82:11675a,11678a Molten pyrimidines

TITLE:

INVENTOR(S):

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PATENT ASSIGNEE(S):

Pfizer, Chas., and Co., Inc.

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GT For diagram(g) ge	e nrint	ALLEST 40 he	•		

GI For diagram(s), see printed CA Issue.

AB Twenty-seven pyrimidoquinolines I [R = Me, Et, Ac, CO2R7 (R7 = H, Na, Et, Bu, CH2CH2OH), CONH2, CONHOH; R1 = H, Ph; R2 = H, Cl, MeO; R3 = H, MeO, F, Cl, EtO, MeS, MeS(O); R4 = H, MeO, EtO, BuO, PhCH2O, F; R3R4 = OCH2O, OCH2CH2O; R5 = H, MeO; R6 = Me, CH2CO2Me, (CH2)3CO2Et, (CH2)2OAc], Et benzo[g]quinazolin-4(3H)-one-2-carboxylate, Et pyrido[2,3-d]pyrimidin-4(3H)-one, useful as inhibitors of bronchial asthma, were prepared: a) by condensation of cyanoacetamide with a nitrobenzaldehyde to give acrylamide II which was cyclized with powdered Fe in AcOH or AcOH-DMF to aminoquino-linecarboxamide III. Refluxing III with an oxalate ester and aromatic hydrocarbon gave I. b) Cyanoacetamide condensed with an aminobenzaldehyde gave III directly.

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Many of the compds. prepared had 100% antiallergic activity at 1-10 mg/kg (average of 8 animals) i.v.

IT 55149-43-4P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and cyclization with diethyl oxalate)

RN 55149-43-4 CA

CN 3-Quinolinecarboxamide, 2-amino-6,7-dimethoxy- (CA INDEX NAME)

$$\begin{array}{c|c} \text{MeO} & \text{N} & \text{NH}_2 \\ \\ \text{MeO} & & \text{C-NH}_2 \\ \\ \text{O} \end{array}$$